

\* \* \* \* \* \* \* \* \* \* \* \* \* Welcome to STN International \* \* \* \* \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present  
NEWS 3 NOV 26 MARPAT enhanced with FSORT command  
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy  
NEWS 5 NOV 26 Two new SET commands increase convenience of STN searching  
NEWS 6 DEC 01 ChemPort single article sales feature unavailable  
NEWS 7 DEC 12 GBFULL now offers single source for full-text coverage of complete UK patent families  
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS  
NEWS 9 JAN 06 The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo  
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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NEWS IPC8 For general information regarding STN implementation of IPC 8

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\* \* \* \* \* \* \* \* \* \* \* \* \* STN Columbus \* \* \* \* \* \* \* \* \* \* \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:15:37 ON 21 JAN 2009

=> file reg		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		0.22	0.22

FILE 'REGISTRY' ENTERED AT 17:15:52 ON 21 JAN 2009  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JAN 2009 HIGHEST RN 1094597-78-0  
DICTIONARY FILE UPDATES: 20 JAN 2009 HIGHEST RN 1094597-78-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

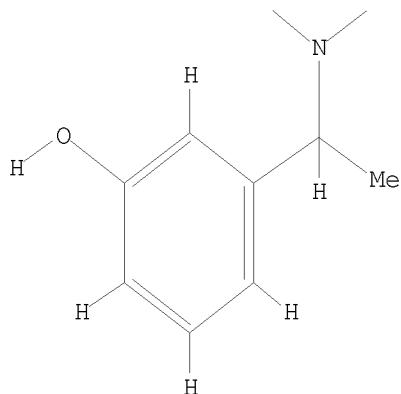
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10523927.str

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

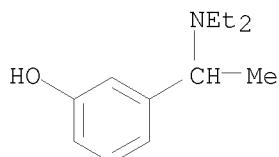
=> s l1 full  
FULL SEARCH INITIATED 17:16:11 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 10280 TO ITERATE

100.0% PROCESSED 10280 ITERATIONS 16 ANSWERS  
SEARCH TIME: 00.00.01

L2 16 SEA SSS FUL L1

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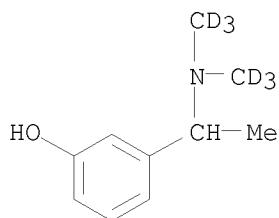
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[1-(diethylamino)ethyl]-  
MF C12 H19 N O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

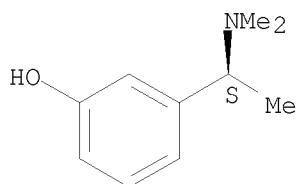
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[1-[di(methyl-d3)amino]ethyl]- (9CI)  
MF C10 H9 D6 N O



L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1)  
MF C<sub>10</sub> H<sub>15</sub> N O . Na

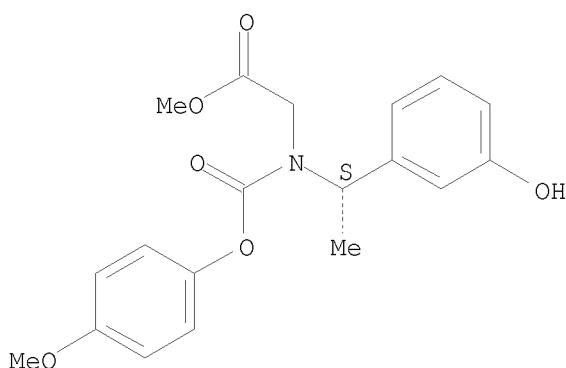
Absolute stereochemistry. Rotation (-).



● Na

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Glycine, N-[(1S)-1-(3-hydroxyphenyl)ethyl]-N-[(4-methoxyphenoxy)carbonyl]-, methyl ester  
MF C<sub>19</sub> H<sub>21</sub> N O<sub>6</sub>

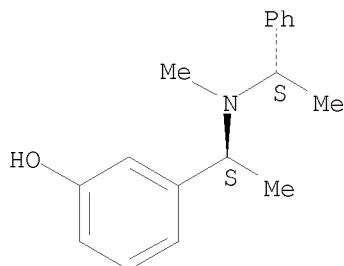
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

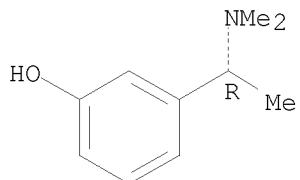
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-[methyl[(1S)-1-phenylethyl]amino]ethyl]-  
MF C17 H21 N O

Absolute stereochemistry. Rotation (-).



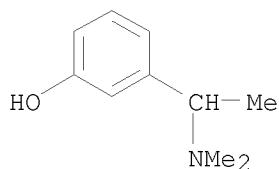
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1R)-1-(dimethylamino)ethyl]-  
MF C10 H15 N O

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

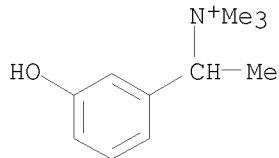
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1R)-1-(dimethylamino)ethyl]-, hydrochloride (1:1)  
MF C10 H15 N O . Cl H



● HCl

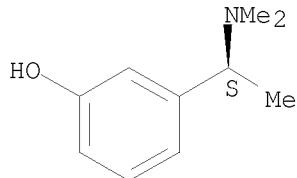
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenemethanaminium, 3-hydroxy-N,N,N, $\alpha$ -tetramethyl-  
MF C11 H18 N O  
CI COM



L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-  
MF C10 H15 N O  
CI COM

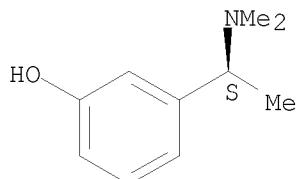
Absolute stereochemistry. Rotation (-).



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1)  
MF C10 H15 N O . Cl H

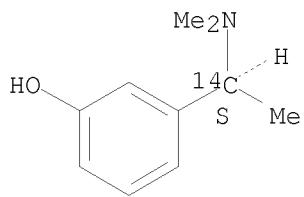
Absolute stereochemistry. Rotation (-).



● HCl

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl-1-14C]-, (S)- (9CI)  
MF C10 H15 N O

Absolute stereochemistry.

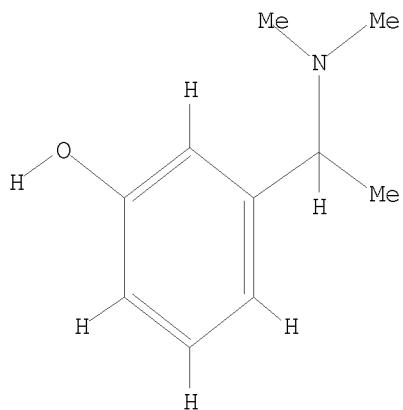


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>  
Uploading C:\Program Files\Stnexp\Queries\10523927-2.str

L3 STRUCTURE UPLOADED

=> d 13  
L3 HAS NO ANSWERS  
L3 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 17:17:43 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 10293 TO ITERATE

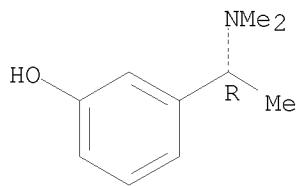
100.0% PROCESSED 10293 ITERATIONS 11 ANSWERS  
SEARCH TIME: 00.00.01

L4 11 SEA SSS FUL L3

=> d 14 scan

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1R)-1-(dimethylamino)ethyl]-  
MF C10 H15 N O

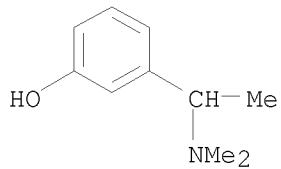
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

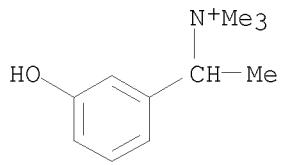
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 3-[1-(dimethylamino)ethyl]-  
 MF C10 H15 N O  
 CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

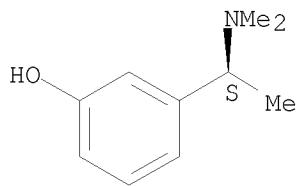
L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenemethanaminium, 3-hydroxy-N,N,N, $\alpha$ -tetramethyl-, iodide (1:1)  
 MF C11 H18 N O . I



● I<sup>-</sup>

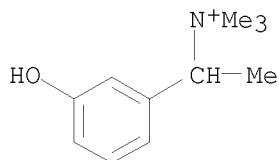
L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-  
 MF C10 H15 N O  
 CI COM

Absolute stereochemistry. Rotation (-).

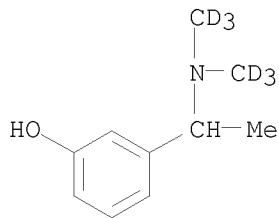


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenemethanaminium, 3-hydroxy-N,N,N, $\alpha$ -tetramethyl-  
 MF C11 H18 N O  
 CI COM

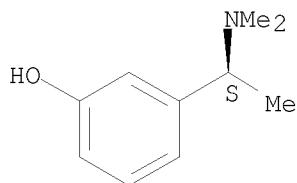


L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 3-[1-[di(methyl-d3)amino]ethyl]- (9CI)  
 MF C10 H9 D6 N O



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1)  
 MF C10 H15 N O . Cl H

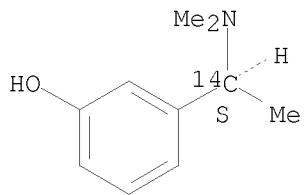
Absolute stereochemistry. Rotation (-).



● HCl

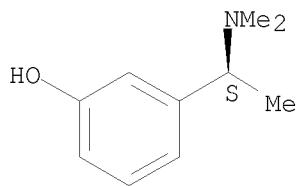
L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[1-(dimethylamino)ethyl-1-<sup>14</sup>C]-, (S)- (9CI)  
MF C10 H15 N O

Absolute stereochemistry.



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1)  
MF C10 H15 N O . Na

Absolute stereochemistry. Rotation (-).

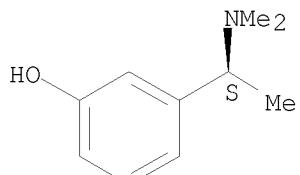


● Na

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,  
(1S,4R)-, compd. with 3-[(1S)-1-(dimethylamino)ethyl]phenol (1:1)  
MF C10 H16 O4 S . C10 H15 N O

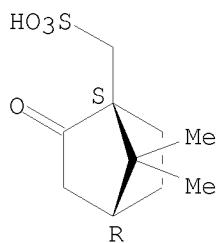
CM 1

Absolute stereochemistry. Rotation (-).

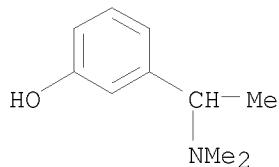


CM 2

Absolute stereochemistry. Rotation (+).



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1)  
 MF C10 H15 N O . Cl H



● HCl

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		372.72	372.94

FILE 'CAPLUS' ENTERED AT 17:18:32 ON 21 JAN 2009  
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FILE COVERS 1907 - 21 Jan 2009 VOL 150 ISS 4  
 FILE LAST UPDATED: 20 Jan 2009 (20090120/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 14  
L5 59 L4

=> s 14 and resolution  
59 L4  
108684 RESOLUTION  
L6 7 L4 AND RESOLUTION

=> s 14 and optical?  
59 L4  
1146193 OPTICAL?  
L7 5 L4 AND OPTICAL?

=> s 17 or 16  
L8 11 L7 OR L6

=> d 18 ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y

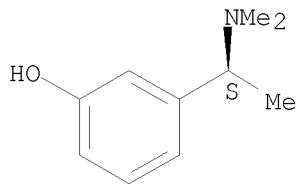
L8 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2008:1250055 CAPLUS  
DOCUMENT NUMBER: 149:471196  
TITLE: A process for the preparation of rivastigmine or a salt thereof  
INVENTOR(S): Mandava, Venkata Naga Brahmewara Rao; Vajrala, Venkata Reddy; Varanasi, Ganesh; Adla, Vijay Kumar; Jambula, Mukund Reddy; Kanumathi Reddy, Vijaypal Reddy  
PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddys Laboratories Inc.  
SOURCE: Eur. Pat. Appl., 16pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1980552	A2	20081015	EP 2008-7105	20080410
EP 1980552	A3	20081029		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
IN 2007CH00758	A	20081128	IN 2007-CH758	20070410
US 20080255383	A1	20081016	US 2008-100591	20080410
PRIORITY APPLN. INFO.:			IN 2007-CH758	A 20070410
			US 2008-30814	A 20080222
			US 2008-30814P	P 20080222

OTHER SOURCE(S): CASREACT 149:471196  
AB The process comprises reacting S-(-)-[1-(3-hydroxyphenyl)ethyl]dimethylamine (I) with N-ethyl-N-methylcarbamoyl chloride (II) in the presence of an organic base to obtain a free base of rivastigmine. Rivastigmine tartrate is a known drug. Thus, reaction of I with II in Me iso-Bu ketone in the presence of pyridine and tetrabutylammonium bromide gave, after workup, rivastigmine (free base).  
IT 139306-10-8P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for preparation of rivastigmine or salt thereof)  
RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:396551 CAPLUS

DOCUMENT NUMBER: 148:387367

TITLE: Improved process for the industrial preparation of Rivastigmine hydrogen tartrate and its pharmaceutical polymorphs

INVENTOR(S): Nadkarni, Sunil Sadanand

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India

SOURCE: Indian Pat. Appl., 50pp.

CODEN: INXXBQ

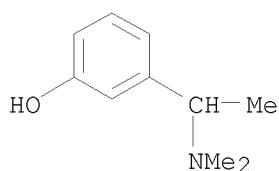
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
	IN 2005MU00036	A	20060811	IN 2005-MU36	20050114
PRIORITY APPLN. INFO.:				IN 2005-MU36	20050114
OTHER SOURCE(S):	CASREACT 148:387367				
AB	A process for the preparation of rivastigmine hydrogen tartarate starting from α-3-hydroxyphenylethyldimethylamine is described. The present invention is simple, efficient, environmentally safe and a cost effective methodol. for the production of rivastigmine and its pharmaceutical polymorphs. Further, this invention also relates to the solid-state phys. properties of rivastigmine hydrogen tartarate. These properties can be influenced by controlling the conditions under which rivastigmine hydrogen tartarate is obtained in solid form. One example of solid-state phys. properties is the flowability of the milled solid. Flowability affects the ease with which the material is handled during processing into a pharmaceutical product.				
IT	5441-61-2				
	RL: RCT (Reactant); RACT (Reactant or reagent)				
	(improved process for the industrial preparation of rivastigmine hydrogen tartrate and its pharmaceutical polymorphs)				
RN	5441-61-2 CAPLUS				
CN	Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)				

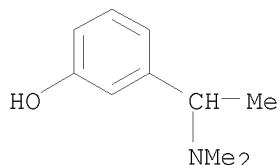


● HCl

L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007:313129 CAPLUS  
 DOCUMENT NUMBER: 148:191703  
 TITLE: Synthesis of S-(+)-rivastigmine hydrogen tartrate  
 AUTHOR(S): Feng, Jin; Chen, Wei-min; Sun, Ping-hua  
 CORPORATE SOURCE: Dep. Med. Chem., Sch. Pharmacy, Jinan Univ.,  
 Guangzhou, 510632, Peop. Rep. China  
 SOURCE: Nanfang Yike Daxue Xuebao (2007), 27(2), 177-180  
 CODEN: NYDXAN; ISSN: 1673-4254  
 PUBLISHER: Nanfang Yike Daxue Xuebao Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 OTHER SOURCE(S): CASREACT 148:191703

AB The synthesis of the title compound, S-(+)-rivastigmine hydrogen tartrate [i.e., N-ethyl-N-(methyl)carbamic acid 3-[1-(dimethylamino)ethyl]phenyl ester, (2R,3R)-2,3-dihydroxybutanedioate] which was known as an agent for the treatment of Alzheimer disease, is reported. S-(+)-rivastigmine hydrogen tartrate was synthesized by using 1-(3-hydroxyphenyl)ethanone as the starting material via oximation, reduction and N-methylation to produce a key intermediate 3-[1-(dimethylamino)ethyl]phenol, which was treated with N-ethyl-N-(methyl)carbamoyl chloride. The enantiomers were resolved with di(+)-p-toluoyl-D-tartaric acid, and the title compound was prepared by mixing S-rivastigmine base with L-(+)-tartrate. The total yield of S-(+)-rivastigmine hydrogen tartrate was 4.17%. The materials in this procedure are all com. available. The reaction conditions are mild and total yield is high.

IT 105601-04-5P, 3-[1-(Dimethylamino)ethyl]phenol  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of chiral rivastigmine hydrogen tartrate via synthetic sequence involving oximation, reduction, methylation, carbamoylation and resolution)  
 RN 105601-04-5 CAPLUS  
 CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007:257897 CAPLUS  
 DOCUMENT NUMBER: 146:295621  
 TITLE: Process for preparation of rivastigmine and tartrate  
 INVENTOR(S): Ma, Dawei; Pan, Qiangbiao; Pan, Song  
 PATENT ASSIGNEE(S): Shanghai Aobo Bio-Pharmaceutical Technology Co., Ltd,  
 Peop. Rep. China; Shanghai Institute of Organic  
 Chemistry, Chinese Academy of Sciences; Zhejiang  
 Huahai Pharmaceutical Co., Ltd.  
 SOURCE: PCT Int. Appl., 27pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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<p>-----</p> <p>WO 2007025481              A1      20070308      WO 2006-CN2246      20060901</p> <p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,  KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,  MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,  RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,  UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  KG, KZ, MD, RU, TJ, TM</p>	<p>CN 1923801              A      20070307      CN 2005-10029393      20050902</p> <p>CN 100391938              C      20080604</p>	<p>CN 2005-10029393      A      20050902</p>
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PRIORITY APPLN. INFO.:              CN 2005-10029393      A      20050902

OTHER SOURCE(S):              CASREACT 146:295621; MARPAT 146:295621

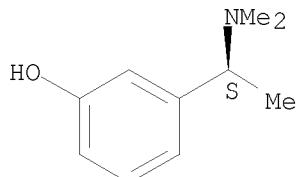
AB    The present invention relates to a process for preparing N-ethyl-N-methyl-3-[(1S)-1-(dimethylamino)ethyl]phenyl carbamate (rivastigmine) and its tartrate, which comprises reacting 3-[(1S)-1-(dimethylamino)ethyl]phenol or salts with phosgene, diphosgene or triphosgene, followed by the addition of N-methylethanamine to give rivastigmine. The tartrate was obtained by reacting rivastigmine with L-(+)-tartaric acid. The process has the advantages of high yield and optical purity.

IT    894079-56-2 928216-23-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of rivastigmine and tartrate)

RN    894079-56-2 CAPLUS

CN    Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

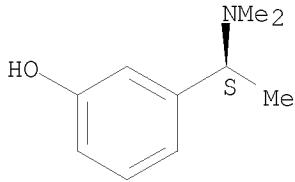
Absolute stereochemistry. Rotation (-).



● HCl

RN    928216-23-3 CAPLUS  
CN    Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1) (CA INDEX NAME)

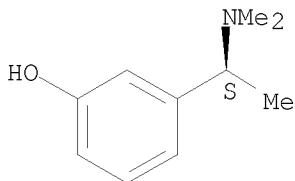
Absolute stereochemistry. Rotation (-).



● Na

IT 139306-10-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
     (preparation of rivastigmine and tartrate)  
 RN 139306-10-8 CAPLUS  
 CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2006:436761 CAPLUS  
 DOCUMENT NUMBER: 144:450512  
 TITLE: Carbamoylation method for preparation of rivastigmine [(S)-3-[(1-dimethylamino)ethyl]phenyl N-ethyl-N-methylcarbamate]  
 INVENTOR(S): Gharpure, Milind Moreshwar; Bhawal, Baburao Manikrao; Shah, Viral Bipinbhai; Zope, Umesh Rewaji; Mehta, Satish Ramanlal  
 PATENT ASSIGNEE(S): Emcure Pharmaceuticals Limited, India  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006048720	A1	20060511	WO 2005-IB3237	20051029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 IN 2004MU01207 A 20071214 IN 2004-MU1207 20041108  
 EP 1856036 A1 20071121 EP 2005-797945 20051029  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 BR 2005015767 A 20080304 BR 2005-15767 20051029  
 JP 2008519023 T 20080605 JP 2007-539647 20051029  
 KR 2007083814 A 20070824 KR 2007-709495 20070426  
 PRIORITY APPLN. INFO.: IN 2004-MU1207 A 20041108  
 WO 2005-IB3237 W 20051029

OTHER SOURCE(S): CASREACT 144:450512

AB Rivastigmine is prepared in high yield and selectivity by the carbamoylation of (S)-3-[1-(dimethylamino)ethyl]phenol with N-ethyl-N-methylcarbamoyl chloride.

IT 105601-04-5 139306-10-8,

(S)-3-[1-(Dimethylamino)ethyl]phenol

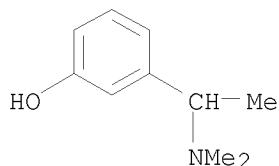
RL: RCT (Reactant); RACT (Reactant or reagent)

(in a carbamoylation method for preparation of rivastigmine

[(S)-3-[(1-dimethylamino)ethyl]phenyl N-ethyl-N-methylcarbamate])

RN 105601-04-5 CAPLUS

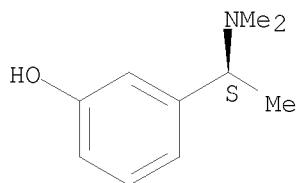
CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:972013 CAPLUS

DOCUMENT NUMBER: 140:27668

TITLE: A process for the preparation of phenyl carbamates

INVENTOR(S): Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India; Patel, Hetalkumar Virendrabhai

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

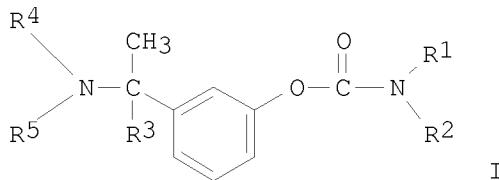
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003101917	A2	20031211	WO 2003-IN210	20030602
WO 2003101917	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	BA, BB, BG, BR, BY, BZ, CA, CH, CN, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MW, MX, MZ, NI, NO, NZ, OM, PT, RO, SE, SI, SK, TR, TZ, UG, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MU00484	A	20040228	IN 2002-MU484	20020531
IN 2003MU00166	A	20050204	IN 2003-MU166	20030206
AU 2003263574	A1	20031219	AU 2003-263574	20030602
US 20060293518	A1	20061228	US 2006-516104	20060807
US 7385076	B2	20080610		

PRIORITY APPLN. INFO.:

IN 2002-MU484	A	20020531
IN 2003-MU166	A	20030206
WO 2003-IN210	W	20030602

OTHER SOURCE(S): CASREACT 140:27668; MARPAT 140:27668

GI



AB Phenylcarbamates [I; R1 = H, (un)branched lower (cyclo)alkyl, cyclohexyl, allyl, propargyl, benzyl; R2 = H, Me, Et, propyl; NR1R2 = three-to-eight-membered ring with or without a hetero atom like nitrogen or oxygen; R3 = H, lower alkyl; R4, R5 = lower alkyl; e.g., racemic rivastigmine] are prepared in high yield and selectivity by the reaction of the corresponding I phenol [e.g., 3-[1-(dimethylamino)ethyl]phenol] with a 4-nitrophenylcarbamate 4-O2NC6H4O2CN(R1)R2 (e.g., 4-nitrophenyl N-ethyl-N-methylcarbamate) in the presence of a base (e.g., potassium carbonate).

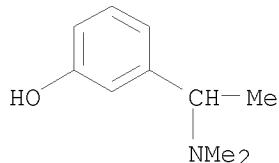
IT 105601-04-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in a process for the preparation of Ph carbamates)

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



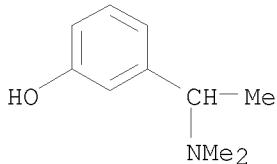
REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

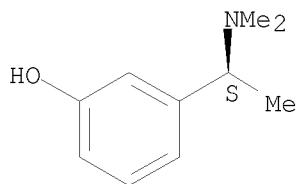
L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:429602 CAPLUS  
 DOCUMENT NUMBER: 136:183572  
 TITLE: Synthesis of Rivastigmine, a chiral drug for Alzheimer's Disease  
 AUTHOR(S): Jiang, Yong-wen; Hua, Zheng-mao; Xie, Li-hua; Yang, Li-ping  
 CORPORATE SOURCE: Department of Chemistry, East China Normal University, Shanghai, 200062, Peop. Rep. China  
 SOURCE: Huadong Shifan Daxue Xuebao, Ziran Kexueban (2001), (1), 61-65  
 PUBLISHER: HSZKEO; ISSN: 1000-5641  
 DOCUMENT TYPE: Huadong Shifan Daxue Chubanshe  
 LANGUAGE: Journal  
 OTHER SOURCE(S): Chinese  
 CASREACT 136:183572  
 AB Rivastigmine, a sort of acetylcholinesterase inhibitor, used in the symptomatic treatment of mild to moderate Alzheimer's Disease, was synthesized from m-hydroxyphenyl Me ketone via three steps, giving racemic product, further chemical resolution with D-tartaric acid derivative, giving the S-product..  
 IT 105601-04-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of Rivastigmine, a chiral drug for Alzheimer's Disease)  
 RN 105601-04-5 CAPLUS  
 CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1992:128255 CAPLUS  
 DOCUMENT NUMBER: 116:128255  
 ORIGINAL REFERENCE NO.: 116:21695a, 21698a  
 TITLE: A general enantioselective synthesis of  $\alpha$ -arylethylamines  
 AUTHOR(S): Chen, Chung Pin; Prasad, Kapa; Repic, Oljan  
 CORPORATE SOURCE: Sandoz Res. Inst., East Hanover, NJ, 07936, USA  
 SOURCE: Tetrahedron Letters (1991), 32(49), 7175-8  
 DOCUMENT TYPE: CODEN: TELEAY; ISSN: 0040-4039  
 Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 116:128255  
 AB Optically active  $\alpha$ -arylethylamines were prepared starting from acetophenones in  $\geq 95\%$  ee and  $\geq 70\%$  overall yield using oxazaborolidine catalyzed enantioselective reduction followed by the displacement of the hydroxy group by an azide group with clean inversion under Mitsunobu reaction conditions.  
 IT 139306-10-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:188457 CAPLUS

DOCUMENT NUMBER: 106:188457

ORIGINAL REFERENCE NO.: 106:30389a, 30392a

TITLE: Pharmacological activity of novel anticholinesterase agents of potential use in the treatment of Alzheimer's disease

AUTHOR(S): Weinstock, Marta; Razin, Michal; Chorev, Michael; Tashma, Zeev

CORPORATE SOURCE: Sch. Pharm., Hebrew Univ., Jerusalem, Israel

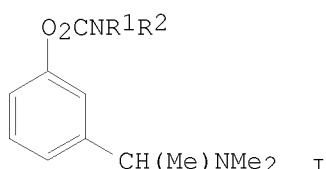
SOURCE: Advances in Behavioral Biology (1986), 29(Alzheimer's Parkinson's Dis.), 539-49

CODEN: ADBBW; ISSN: 0099-6246

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



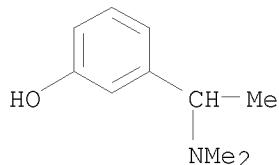
AB Eight miotine derivs. I (R1 = H, Me or Et, R2 = alkyl) were prepared by reacting  $\alpha$ -m-hydroxyphenylethyldimethylamine [105601-04-5] with alkyl isocyanates or dialkylaminocarbamyl chlorides. Their ability to inhibit brain acetylcholinesterase (AChE) [9000-81-1] varied 3000-fold and was not related to either the hydrophobicity, molar refractivity, or length of the most extended conformation of the carbamate moiety. Furthermore, no clear correlation could be demonstrated between the anticholinesterase activity in vitro and that obtained ex vivo after injection of the drug into mice. All the novel compds. were relatively more active in vivo in relation to physostigmine or miotine than they were in vitro. Comparison of the acute toxicity of I with that of physostigmine and miotine showed the former to have a higher therapeutic ratio. The I derivs. tested had different anticholinesterase activities in different brain areas; the cerebral cortex enzyme was the most sensitive and the medulla oblongata enzyme was the least sensitive. The use of these compds. for treatment of chronic conditions such as Alzheimer's disease is discussed.

IT 105601-04-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with alkyl isocyanates and dialkylaminocarbamyl chlorides)

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1933:563 CAPLUS

DOCUMENT NUMBER: 27:563

ORIGINAL REFERENCE NO.: 27:67g-i

TITLE: Resolution of

$\alpha$ -m-hydroxyphenylethylmethylamine and the preparation of d- and l-miotine (methylurethans of d- and l- $\alpha$ -m-hydroxyphenylethyldimethylamine)

AUTHOR(S): Macdonald, Joseph McL.; Stedman, Edgar

SOURCE: Journal of the Chemical Society (1932) 2513-19

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. Stedman and Stedman, C. A. 23, 3451. In a reinvestigation of the resolution of miotine (I), it was found that in no case could a crystalline salt be prepared m-MeOC<sub>6</sub>H<sub>4</sub>CHMeNMe<sub>2</sub> also could not be resolved. Attention was then directed to m-HOC<sub>6</sub>H<sub>4</sub>CHMeNHMe. m-MeOC<sub>6</sub>H<sub>4</sub>CHMeBr and MeNH<sub>2</sub> in MeCN give 75% of  $\alpha$ -m-methoxyphenylethylmethylamine, b15 117-8°, whose HCl salt m. 152-3°; in EtOH the yield is 63%; the chloride in EtOH gives 54% of the base. HBr gives dl- $\alpha$ -m-hydroxyphenylethylmethylamine (II), m. 160°; HCl salt, m. 160°. While crystalline H d-tartrate and H l-malate salts could be prepared, they were not suitable for resolution.

d-Bromocamphor- $\pi$ -sulfonic acid (III) gave satisfactory results; the III salt of d-II, m. about 193°, crystallizing with 1.5 mols. H<sub>2</sub>O, resulted from the HCl salt of II and the NH<sub>4</sub> salt of III in 79% yield and could be crystallized from H<sub>2</sub>O; the anhydrous salt from AcOEt m. 197°; the residue from the mother liquor was converted into the HCl salt and treated with l-III, giving the l-III salt of l-II. d-II, m. 171°, [ $\alpha$ ]D 68° (C<sub>5</sub>H<sub>5</sub>N, c 5); HCl salt, m. 201°, [ $\alpha$ ]D 20° (H<sub>2</sub>O, c 10); the l-II possessed similar properties. d-II in MeOH and formalin, treated with H<sub>2</sub> (PtO<sub>2</sub> catalyst), gave d- $\alpha$ -m-hydroxyphenylethyldimethylamine, m. 116°, [ $\alpha$ ]D 55.8° (EtOH, c 5); HCl salt, m. 161°, [ $\alpha$ ]D 15.2° (H<sub>2</sub>O, c 10); the l-isomer was similar. These bases with MeNCO give d- and l-I, m. 85°, [ $\alpha$ ]D ± 37° (EtOH, c 10); the HCl salts m. 167° (decomposition), [ $\alpha$ ]D ± 10.6° (H<sub>2</sub>O, c 10).

IT 105601-04-5P

RL: SPN (Synthetic preparation); PRP (Properties); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
(Resolution of  $\alpha$ -m-hydroxyphenylethylmethylamine and the preparation of d- and l-miotine (methylurethans of d- and l- $\alpha$ -m-hydroxyphenylethyldimethylamine))

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)

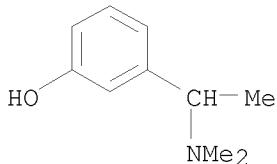


IT 5441-61-2P, Phenol, m-( $\alpha$ -dimethylaminoethyl)-, -HCl

RL: PREP (Preparation)  
(preparation of)

RN 5441-61-2 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1929:29266 CAPLUS

DOCUMENT NUMBER: 23:29266

ORIGINAL REFERENCE NO.: 23:3451e-i, 3452a-c

TITLE: Methylurethans of the isomeric  
 $\alpha$ -hydroxyphenylethyldimethylamines and their  
Miotic activity

AUTHOR(S): Stedman, Edgar; Stedman, Ellen

SOURCE: Journal of the Chemical Society (1929) 609-17  
CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 23:29266

AB The substances heretofore examined include 2 series of isomeric methylurethans, viz., the dimethylaminophenyl esters of methylcarbamic acid.  $\text{HNMeCO}_2\text{C}_6\text{H}_4\text{NMe}_2$ , and the methylurethans of the hydroxybenzyldimethylamines,  $\text{NHMeCO}_2\text{C}_6\text{H}_4\text{CH}_2\text{NMe}_2$ . None of the compds. of these series contains an asym. C, and in view of the known difference in activity of the enantiomorphs of certain physiol. active substances, expts. have been carried out with the object of introducing such asymmetry into the latter of the above series of isomerides. For this purpose, the methylurethans of the isomeric  $\alpha$ -hydroxyphenylethyldimethylamines have been prepared, this particular series being chosen because the introduction of an asym. C is effected with the min. structural alterations necessary for this purpose. Unfortunately, these urethans have not yet been obtained in optically active forms. In the meantime, the results obtained with the dl-bases are here recorded. The 3 isomeric urethans were prepared by conversion of the methoxybenzaldehydes into the methoxyphenylmethylcarbinols via Grignard, followed by treatment with HBr; the corresponding bromides thereupon react with  $\text{Me}_2\text{NH}$  to give the  $\alpha$ -methoxyphenylcthyldimethylamines, which on demethylation by HBr yielded the phenols and finally the methylurethans,  $\text{NHMeCO}_2\text{C}_6\text{H}_4\text{CHMeNMe}_3$ , by interaction with  $\text{MeNCO}$  under appropriate conditions. The following compds. are described:  
m-methoxyphenylmethylcarbinol, b14.5 133°;

$\alpha$ -m-methoxy-methoxyphenylethyldimethylamine. b17 118-9° (HCl salt. m. 105°: methiodide, C<sub>12</sub>H<sub>20</sub>ONI, pale cream, m. 142°);  $\alpha$ -m-hydroxyphenylethyldimethylamine, m. 87-8° (HCl salt, C<sub>10</sub>H<sub>15</sub>ON.HCl, m. 197-8°; methiodide, micro-crystalline, m. 160°);  $\alpha$ -o-methoxyphenylethyldimethylamine, b12 105-7°, b13.5 103.5° (HCl salt, an oil; methiodide, C<sub>14</sub>H<sub>10</sub>ONI, m. 136-7°);  $\alpha$ -O-hydroxyphenylethyldimethylamine, b14 112-4° (HCl salt, C<sub>10</sub>H<sub>17</sub>ON.HCl, m. 136-7°);  $\alpha$ -p-methoxyphenylethyldimethylamine, b14 118° (HCl salt, C<sub>11</sub>H<sub>17</sub>ON.HCl, m. 213°; methiodide, m. 128-30°); di[ $\alpha$ -p-methoxyphenylethyl]dimethylammonium bromide, C<sub>20</sub>H<sub>26</sub>O<sub>2</sub>NBr.H<sub>2</sub>O, thick plates, m. 109°;  $\alpha$ -p-hydroxyphenylethyldimethylamine, m. about 115° (HBr salt, m. 178°; HCl salt, C<sub>10</sub>H<sub>15</sub>ON.HCl, m. 183°). Methylurethan of  $\alpha$ -m-hydroxyphenylethyldimethylamine, C<sub>12</sub>H<sub>14</sub>O<sub>2</sub>N<sub>2</sub>, m. 86° (HCl salt, m. 160° (effervescence); methiodide, C<sub>13</sub>H<sub>21</sub>O<sub>2</sub>N<sub>2</sub>I, m. 130° (effervescence)). Methylurethan of  $\alpha$ -o-hydroxyphenylethyldimethylamine, m. 90° (HCl salt (I) extremely hygroscopic; methiodide, C<sub>13</sub>H<sub>21</sub>O<sub>2</sub>N<sub>2</sub>I, m. 148° (effervescence)). Methylurethan of  $\alpha$ -p-hydroxyphenylethyldimethylamine, unknown in free state (HCl salt, C<sub>12</sub>H<sub>18</sub>O<sub>2</sub>N<sub>2</sub>.HCl, m. 203°; methiodide (II), m. 173° (effervescence)). The 3 urethans have been tested for miotic activity in the form both of their HCl salts and methiodides by instillation into cats' eyes, 1.5% solns. of these substances in physiol. salt solns. being employed. In case of (I) the free urethan was dissolved in the calculated amount of 0.153 N HCl and diluted to 1.5% with physiol. salt solution. With the exception of (II), which had no action at this dilution, a contraction of the pupil resulted in each case, the extent of the miosis differing with the various substances employed. The estimated order of activity is: m-HCl > o-MeI > p-HCl, o-HCl, m-MeI > p-MeI. This order can of course only be regarded as provisional until the activities on other organs have been compared. It should, however, be noted that the observed activities of p-HCl, o-HCl, m-MeI were quite small in the dilns. used, the m-HCl and o-MeI being very much greater. The miotic activity of m-HCl was intense and persistent, probably approaching that of physostigmine.

IT 105601-04-5, Phenol, m-( $\alpha$ -dimethylaminoethyl)-  
(and derivs.)

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)

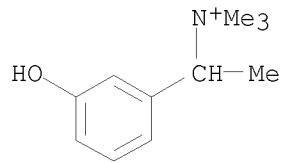


IT 860737-04-8P, Ammonium, (m-hydroxy- $\alpha$ -methylbenzyl)trimethyl-, iodide

RL: PREP (Preparation)  
(preparation of)

RN 860737-04-8 CAPLUS

CN Benzenemethanaminium, 3-hydroxy-N,N,N, $\alpha$ -tetramethyl-, iodide (1:1)  
(CA INDEX NAME)



●  $\text{I}^-$